

U.S. Patent Application No. TBA  
(U.S. National Phase Application Filed under 35 U.S.C. §371  
Based on International Patent Application No. PCT/EP2005/000840)  
Preliminary Amendment A  
July 31, 2006

**Amendments to Specification**

**Please replace the abstract of the invention on the title page of the application with the following abstract:**

**ABSTRACT**

The present invention relates to certain derivatives of cycloalkanediolones invariably substituted with a chroman-2-yl, 2-quinolyl or -O-phenyl residue which are serotonin (5-hydroxytryptamine, 5-HT) 5-HT<sub>1A</sub> receptor subtype ~~agonists~~ modulators, to their stereochemical isomers and to their use in the preparation of a medicament for the treatment of pathological states for which ~~an agonist~~ a modulator of these receptors is indicated.

**Please replace the title of the invention on page 1 of the application with the following title:**

**Diaza- or ~~Thiazadione~~ Thiazadione Derivatives with [[with]] Neuroprotective Activity**

**Please insert the following text on page 1 of the application between the title and the section entitled “Field of the Invention”:**

**PRIORITY CLAIM TO RELATED PATENT APPLICATIONS**

This patent application claims priority (as a U.S. national phase application filed under 35 U.S.C. §371) to International Patent Application No. PCT/EP2005/000840 (filed January 28, 2005), which, in turn, claims priority to Spanish Patent Application No. P 200400205 (filed January 30, 2004). The entire text of each of these priority patent applications is incorporated by reference into this patent application.

**Please replace the “Field of the Invention” section on page 1 of the application with the following:**

**FIELD OF THE INVENTION**

The present invention relates to certain derivatives of cycloalkanediolones invariably substituted with a chroman-2-yl, 2-quinolyl or -O-phenyl residue which are serotonin (5-hydroxytryptamine, 5-HT) 5-HT<sub>1A</sub> receptor subtype ~~agonists~~ modulators, to their stereochemical isomers and to their use in the preparation of a medicament for the treatment of pathological states for which ~~an agonist~~ a modulator of these receptors is indicated.

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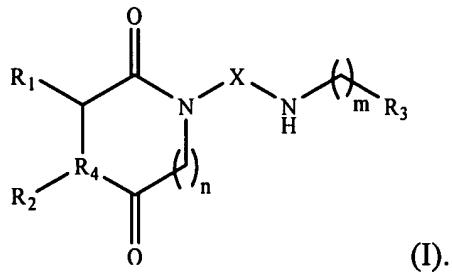
July 31, 2006

**Please insert the following text after the last sentence of the “Background of the Invention” section on page 3 of the application:**

No admission is made that any reference (or a portion of any reference) discussed above is prior art.

**Please insert the following text after the heading “Summary of the Invention” on page 3 of the application:**

The present invention is directed, in part, to compounds (including isomers of the compounds as well as salts, solvates, and hydrates of the compounds and isomers) that generally correspond in structure to formula I:



Generally, R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, X, m, and n are defined as follows:

R<sub>4</sub> is N or S.

If R<sub>4</sub> is S, then R<sub>1</sub> is H, and R<sub>2</sub> is absent.

If R<sub>4</sub> is N, then R<sub>1</sub> and R<sub>2</sub> are H or are methylene groups bound together forming with the heterocyclic ring a 5- or 6- membered ring.

n is zero or 1.

X is C<sub>2</sub>-C<sub>10</sub>-alkylene, C<sub>2</sub>-C<sub>10</sub>-alkenyl, or -CH<sub>2</sub>-Y-CH<sub>2</sub>-.

Y is phenyl.

m is 1 or 2.

R<sub>3</sub> is chroman-2-yl, 2-quinolyl, or phenoxy. The quinolyl, the aromatic ring of the chromanyl, and the phenyl ring of the phenoxy are optionally substituted with one or more substituents, each of which is independently C<sub>1</sub>-C<sub>6</sub>-alkoxy, C<sub>1</sub>-C<sub>6</sub>-alkyl, halogen, C<sub>2</sub>-C<sub>6</sub>-alkenyl, halo-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, halo-(C<sub>1</sub>-C<sub>6</sub>)-alkoxy, phenyl, phenyl-(C<sub>1</sub>-C<sub>6</sub>)-alkyl, phenoxy, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonyl, phenylcarbonyl, phenyl-(C<sub>1</sub>-C<sub>6</sub>)-alkylcarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkoxycarbonyl, phenyl-(C<sub>1</sub>-C<sub>6</sub>)-alkoxycarbonyl, C<sub>1</sub>-C<sub>6</sub>-alkylcarbonylamino, hydroxy, cyano, nitro, amino, N-(C<sub>1</sub>-C<sub>6</sub>)-

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alkylamino, N,N-(C<sub>1</sub>-C<sub>6</sub>)-dialkylamino, carboxy, sulfo, sulfamoyl, sulfonylamino, (C<sub>1</sub>-C<sub>6</sub>)-alkylaminosulfonyl, or (C<sub>1</sub>-C<sub>6</sub>)-alkylsulfonylamino. The C<sub>1</sub>-C<sub>6</sub>-alkyl portion of any of the alkyl-comprising substituents is optionally substituted with a substituent independently selected from hydroxy and amino. Alternatively, the phenyl ring of the phenoxy is substituted by two neighbouring residues, which together with the phenyl ring to which they are attached form tetrahydronaphthyl. The compound is not 2-[4-[(chroman-2-yl)methylamino]butyl]-1,3-dioxoperhydropyrrolo[1,2-c]imidazole, 3-[4-[(chroman-2-yl)methylamino]butyl]-2,4-dioxothiazolidine, 3-[5-[(chroman-2-yl) methylamino]pentyl]-2,4-dioxothiazolidine, 3-[6-[(chroman-2-yl)methylamino]hexyl]-2,4-dioxothiazolidine, 2-[4-[2-(phenoxy)ethylamino]butyl]-1,3-dioxoperhydropyrrolo[1,2-c] imidazole, 3-[4-[2-(phenoxy)ethylamino]butyl]-2,4-dioxothiazolidine, or 3-[3-[(chroman-2-yl)methylamino]propyl]-2,4-dioxoimidazolidine.

The present invention also is directed, in part, to pharmaceutical compositions comprising compounds of formula I discussed above (including isomers of the compounds as well as salts, solvates, and hydrates of the compounds and isomers).

The present invention also is directed, in part, to uses of compound of formula I discussed above (including isomers of the compounds as well as salts, solvates, and hydrates of the compounds and isomers) to prepare medicaments for the treatment and/or prophylaxis of Parkinson Disease, cerebral damage by thromboembolic ictus, craneoencephalic traumas, depression, migraine, pain, psychosis, anxiety disorders, aggressive disorders, and/or urinary tract disorders.

The present invention also is directed, in part, to methods for preventing and/or treating cerebral damage caused by thromboembolic stroke or traumatic brain damage, Parkinson's disease, depression, migraine, pain, psychosis, mood disorder, and/or urinary tract disorder in a subject in need of such prevention and/or treatment.

Further benefits of applicants' invention will be apparent to one skilled in the art from reading this patent application.

#### DETAILED DESCRIPTION